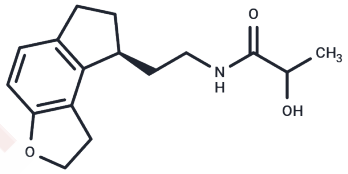
Data Sheet (Cat.No.T12689)

TargetMol

Ramelteon metabolite M-II

Chemical Properties



CAS No. : 896736-21-3

Formula: C16H21NO3

Molecular Weight: 275.34

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ramelteon metabolite M-II is the primary metabolite of Ramelteon and exhibits IC50 values of 208 pM and 1470 pM for human melatonin receptors (MT1 and MT2), respectively. Ramelteon itself is a selective melatonin receptor agonist.
Targets(IC50)	Others,Melatonin Receptor,Drug Metabolite
In vitro	The affinity of Ramelteon metabolite M-II (M-II) for MT1 receptors is 10- and 2.5-fold lower than that of ramelteon and melatonin, respectively, and for MT2 receptors is approximately 5- and 1.5-fold lower. The selectivity of M-II for melatonin receptors over 215 targets, including other receptors, transporters, ion channels, and enzymes, was investigated. No significant affinities or activities were observed for these targets, except for the 5-HT2B receptor, with a Ki value of 1.75±0.23 μM. The potency of M-II for MT1 receptors is approximately 17- and 4.3-fold lower than that of ramelteon and melatonin, respectively, and for MT2 receptors is approximately 28- and 1.6-fold lower.
In vivo	Ramelteon metabolite M-II 1 mg/kg significantly increases NREM sleep and significantly decreases wakefulness. Moreover, a lower dose of M-II (0.1 mg/kg) yield similar results (NREM, $F_{1,7}=121.9$, $p<0.01$; wakefulness, $F_{1,7}=87.0$, $p<0.01$), and decreased wakefulness is sustained for 6 h after the administration of either dose. After the administration of 0.01 mg/kg M-II, only NREM sleep is significantly increased . No significant differences in REM sleep are observed after the administration of M-II at any of the doses tested in this study.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6319 mL	18.1594 mL	36.3187 mL
5 mM	0.7264 mL	3.6319 mL	7.2637 mL
10 mM	0.3632 mL	1.8159 mL	3.6319 mL
50 mM	0.0726 mL	0.3632 mL	0.7264 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Nishiyama K, et al. Pharmacological characterization of M-II, the major human metabolite of ramelteon. Pharmacology. 2014;93(3-4):197-201.